

10676089

=> d his

(FILE 'HOME' ENTERED AT 15:19:27 ON 12 JUL 2005)

FILE 'REGISTRY' ENTERED AT 15:19:37 ON 12 JUL 2005

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 63 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:20:20 ON 12 JUL 2005

L4 32 S L3

FILE 'REGISTRY' ENTERED AT 15:21:15 ON 12 JUL 2005

L5 62 S L3 NOT C25 H27 N5 O4/MF

FILE 'CAPLUS' ENTERED AT 15:24:17 ON 12 JUL 2005

L6 32 S L5

L7 10 S L6 AND PATENT/DT

=> s 16 and acne

5019 ACNE

L8 1 L6 AND ACNE

=> s 18 not 17

L9 0 L8 NOT L7

=> s 18 and psoriasis

11881 PSORIASIS

L10 1 L8 AND PSORIASIS

=> s 110 not 17

L11 0 L10 NOT L7

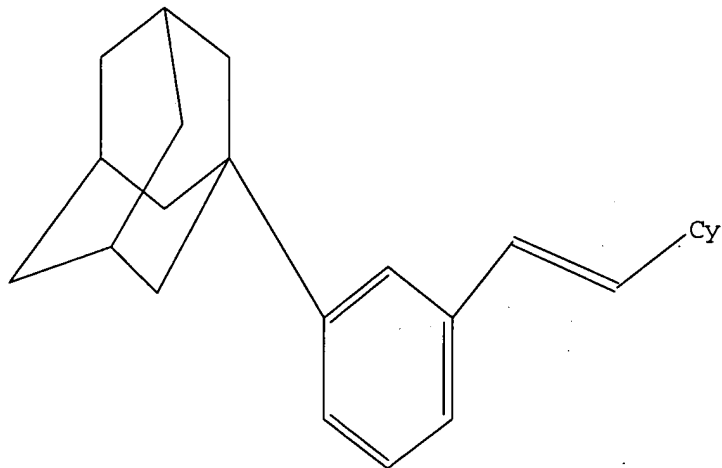
=>

10676089

> d

L1 HAS NO ANSWERS

L1 STR



=> d 1-10 bib abs hitstr

L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:376400 CAPLUS

DN 138:362736

TI Use of a RAR- γ -specific agonist ligand for increasing the rate of apoptosis

IN Fesus, Laszlo; Szondy, Zsuzsa; Reichert, Uwe

PA Hung.

SO U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 51,407.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003092758	A1	20030515	US 2002-183518	20020628
	FR 2739557	A1	19970411	FR 1995-12179	19951009
	FR 2739557	B1	19971114		
	WO 9713505	A2	19970417	WO 1996-FR1568	19961008
	WO 9713505	A3	19970529		
	W: AU, BR, CA, CN, HU, JP, KR, MK, MX, NO, NZ, PL, RU, TR, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6593359	B1	20030715	US 1998-51407	19980715
PRAI	FR 1995-12179	A	19951009		
	WO 1996-FR1568	W	19961008		
	US 1998-51407	A2	19980715		

AB The invention relates to the use of at least one RAR receptor agonist ligand, particularly 6-[3-(adamantan-1-yl)-4-(prop-2-ynyloxy)phenyl]naphthalene-2-carboxylic acid or 5-[(E)-3-oxo-3-(5,5,8,8-tetrahydronaphthalene-2-yl)propenyl]thiophene-2-carboxylic acid, to prepare a pharmaceutical composition for increasing the rate of apoptosis in at least one cell population in which apoptosis may be induced by activating RAR- γ receptors. The composition is particularly useful for treating a disease or disorder related to an insufficient rate of apoptosis in at least one cell population in which apoptosis may be induced by activating RAR- γ receptors. The invention further relates to the use of at least one RAR receptor agonist ligand, particularly 6-[3-(adamantan-1-yl)-4-(prop-2-ynyloxy)phenyl]naphthalene-2-carboxylic acid or 5-[(E)-3-oxo-3-(5,5,8,8-tetrahydronaphthalene-2-yl)propenyl]thiophene-2-carboxylic acid, as the active ingredient in a pharmaceutical or cosmetic composition and the use of such RAR agonist ligands to treat various disorders associated with apoptosis, differentiation, and proliferation. The compns. of the present invention may be used to prevent and/or control photo-induced or chronol. aging of the skin.

IT 146965-65-3, CD2325

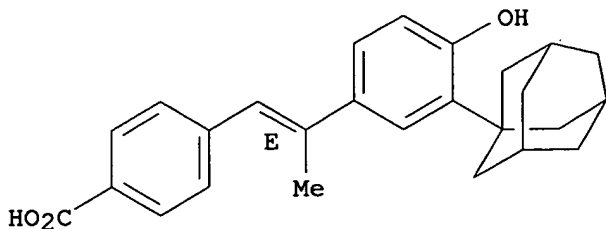
RL: PAC (Pharmacological activity); BIOL (Biological study)

(use of RAR- γ agonist ligand for increasing rate of apoptosis)

RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:770132 CAPLUS
 DN 137:278982
 TI Preparation of aryladamantanes comprising retinoid related compounds as anticancer agents for cervical cancers and dysplasias.
 IN Pfahl, Magnus; Lu, Xian-Ping; Rideout, Darryl; Zhang, Hongyue
 PA Galderma Research & Development, S.N.C., Fr.
 SO U.S., 38 pp., Cont.-in-part of U.S. 6,127,415.
 CODEN: USXXAM

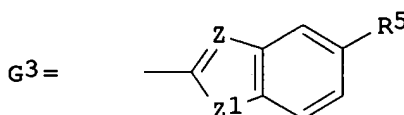
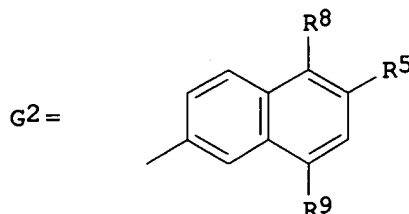
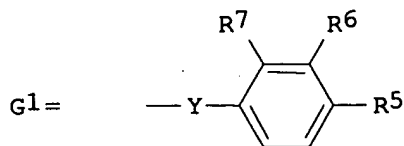
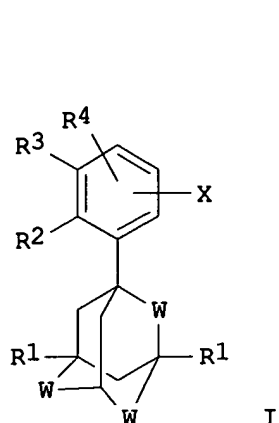
DT Patent
 LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6462064	B1	20021008	US 2000-498347	20000204
	WO 9801132	A1	19980115	WO 1997-US11564	19970708
	W:			AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
	US 6127415	A	20001003	US 1999-214422	19990414
	WO 2001056563	A1	20010809	WO 2001-US3717	20010205
	WO 2001056563	C2	20021031		
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
	EP 1272176	A1	20030108	EP 2001-908853	20010205
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
	JP 2003521513	T2	20030715	JP 2001-556254	20010205
	US 2003073745	A1	20030417	US 2002-176778	20020624
	US 6825226	B2	20041130		
PRAI	US 1996-21285P	P	19960708		
	WO 1997-US11564	W	19970708		
	US 1999-214422	A2	19990414		

US 2000-498347
WO 2001-US3717
OS MARPAT 137:278982
GI

A 20000204
W 20010205



AB Use of title compds. [I; W = CH₂, O, S, SO, SO₂; X = G1, G2, G3; Y = COV, CH:CH, C(Me):CH, CH:C(Me), C(:CH₂), CH(OH)CH₂O, C(NH₂):N; V = O, NH, CH:CH, C.tplbond.C; Z = CH and Z1 = O or Z = N and Z1 = NH; R1 = H, halo, alkyl; R2 = OH, (un)substituted alkyl, alkoxy, etc.; R3 = H, OH, alkyl, alkoxy; R2R3 = OCH₂O; R4 = H, alkyl, alkoxy, halo; R5 = COR10, (un)substituted alkyl, halo; R6 = H, halo, OH, or alkoxy; R7 = H or halo; R8 = H, halo, or alkyl; R9 = H, OH, or halo; R10 = OH, alkoxy, (un)substituted NH₂] for treating or preventing cancer or precancer is claimed. More specifically, I, e.g., 6-[3-(1-adamantyl)-4-methoxyphenyl]-2-naphthoic acid (adapalene), 2-[3-(1-adamantyl)-4-methoxyphenyl]-5-benzimidazole carboxylic acid, and 6-[3-(1-adamantyl)-4,5-methylenedioxyphenyl]-2-naphthoic acid, may be used to treat or prevent cervical cancers and precancers such as cervical dysplasias, including high and low grade dysplasias. Thus, 6-(4-methoxyphenyl)naphthoic acid was coupled with 3-methyl-1-adamantyl acetate in the presence of H₂SO₄ in cyclohexane and ClCH₂CH₂Cl (20.5%) and saponified with KOH in BuOH (68.5%) to give 6-[3-(3-methyl-1-adamantyl)-4-methoxyphenyl]-2-naphthoic acid. In a phase I/II clin. trial, 49 women with cervical intraepithelial neoplasia (CIN) level II or III were treated for 4, 8, or 14 days with adapalene gel delivered with a cervical cap or collagen sponge. 2 Patients that received only a 4 day treatment showed no response. The overall response rate of the 47 patients that received 8 or 14 day treatment was 48.7% with 12 patients showing complete responses and 11 showing partial responses.

IT 202193-00-8

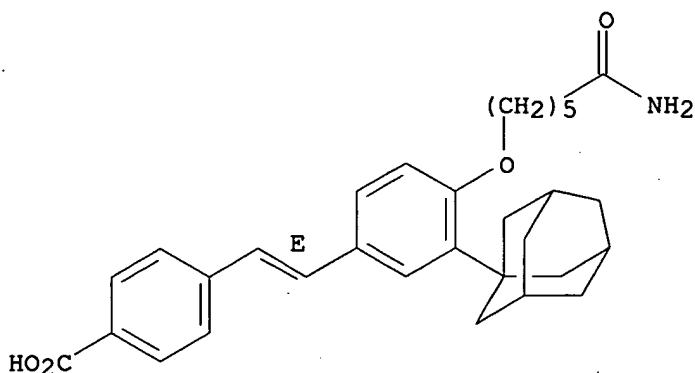
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of aryladamantanes comprising retinoid related compds. as anticancer agents for cervical cancers and dysplasias)

RN 202193-00-8 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(6-amino-6-oxohexyl)oxy]-3-

tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]ethenyl]- (9CI) (CA INDEX NAME)

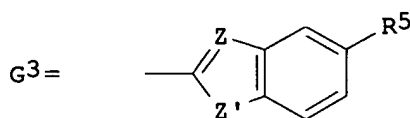
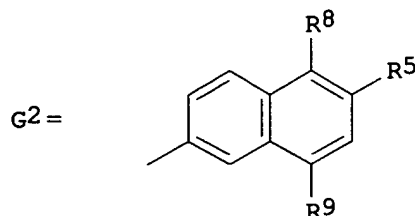
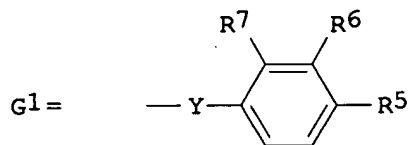
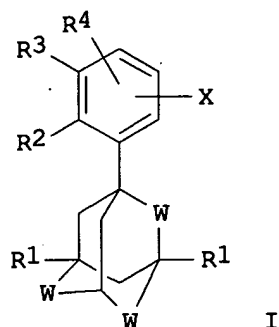
Double bond geometry as shown.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:581699 CAPLUS
DN 135:152633
TI Preparation adamantyl derivatives containing retinoid related compounds as anti-cancer agents
IN Pfahl, Magnus; Lu, Xian-Ping; Rideout, Darryl; Zhang, Hongyue
PA Galderma Research & Development, S.N.C., Fr.
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001056563	A1	20010809	WO 2001-US3717	20010205
	WO 2001056563	C2	20021031		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6462064	B1	20021008	US 2000-498347	20000204
	EP 1272176	A1	20030108	EP 2001-908853	20010205
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003521513	T2	20030715	JP 2001-556254	20010205
PRAI	US 2000-498347	A	20000204		
	US 1996-21285P	P	19960708		
	WO 1997-US11564	W	19970708		
	US 1999-214422	A2	19990414		



AB The title compds. (I) [wherein W = independently CH₂, O, S, SO, or SO₂; X = G1, G2, or G3; Y = COV, CH:CH, C(Me):CH, CH:C(Me), C(:CH₂), CH(OH)CH₂O, or C(NH₂):N; V = O, NH, CH:CH, or C.tplbond.C; Z = CH and Z' = O or Z = N and Z' = NH; R1 = independently H, halo, or alkyl; R2 = OH or (un)substituted alkyl or alkoxy, etc.; R3 = H, OH, alkyl, or alkoxy; or R2 and R3 together may form OCH₂O; R4 = H, alkyl, alkoxy, or halo; R5 = COR₁₀, (un)substituted alkyl, or halo; R6 = H, halo, OH, or alkoxy; R7 = H or halo; R8 = H, halo, or alkyl; R9 = H, OH, or halo; R₁₀ = OH, alkoxy, or (un)substituted NH₂] were prepared and shown to induce apoptosis of cancer cells. I may be used for treatment and/or prevention of cancer, including advanced cancer, keratinization disorders, dermatol. conditions, and other therapies. More specifically, such adamantyl compds., e.g., 6-[3-(1-adamantyl)-4-methoxyphenyl]-2-naphthoic acid (adapalene), 2-[3-(1-adamantyl)-4-methoxyphenyl]-5-benzimidazole carboxylic acid, and 6-[3-(1-adamantyl)-4,5-methylenedioxyphenyl]-2-naphthoic acid, may be used to treat or prevent cervical cancers and precancers such as cervical dysplasias, including high grade and low grade dysplasias. For example, 6-(4-methoxyphenyl)naphthoic acid was coupled with 3-methyl-1-adamantyl acetate in the presence of H₂SO₄ in cyclohexane and ClCH₂CH₂Cl (20.5%) and saponified with KOH in BuOH (68.5%) to give 6-[3-(3-methyl-1-adamantyl)-4-methoxyphenyl]-2-naphthoic acid. In a phase I/II clin. trial, 49 women with cervical intraepithelial neoplasia (CIN) level II or III were treated for four, eight, or fourteen days with adapalene gel delivered with a cervical cap or collagen sponge. Two patients that received only a four day treatment showed no response. The overall response rate of the 47 patients that received 8 or 14 day treatment was 48.7% with 12 patients showing complete responses and 11 showing partial responses.

IT 202193-00-8P

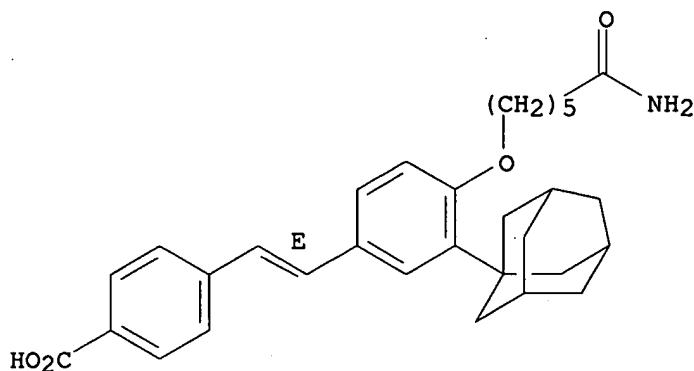
10676089

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of adamantyl derivs. containing retinoid related compds. as anti-cancer agents)

RN 202193-00-8 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(6-amino-6-oxohexyl)oxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:608373 CAPLUS

DN 129:235427

TI Cosmetic compositions containing retinoids as skin pigmentation inducing agent

IN Diaz, Philippe; Charpentier, Bruno; Shroot, Braham

PA Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galder, Fr.

SO Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 862910	A2	19980909	EP 1998-400256	19980205
	EP 862910	A3	19981028		
	EP 862910	B1	20030502		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	FR 2759292	A1	19980814	FR 1997-1500	19970210
	FR 2759292	B1	20000811		
	ES 2198662	T3	20040201	ES 1998-400256	19980205
	JP 10251116	A2	19980922	JP 1998-65994	19980209
	JP 2954146	B2	19990927		
	US 5942531	A	19990824	US 1998-21396	19980210
PRAI	FR 1997-1500	A	19970210		

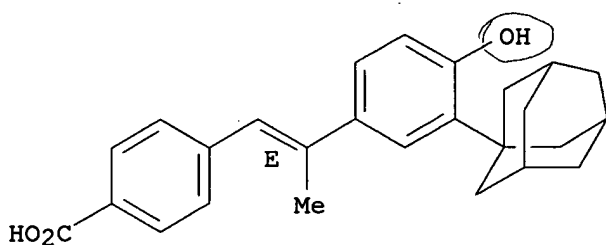
AB Cosmetic compns. containing phenol- or naphthol-containing retinoids as skin pigmentation inducing agent are disclosed. The amount of 4-[(E)-2[3-(1-adamantyl)-4-hydroxyphenyl]propenyl]-benzoic acid (I) required for 50% stimulation of melanogenesis was 10⁻⁸ mM. A gel contained I 0.050, erythromycin base 4.000, butylhydroxytoluene 0.050, hydroxypropyl cellulose 2.000, and ethanol q.s. 100.000.

IT **146965-65-3**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (cosmetic compns. containing retinoids as skin pigmentation inducing agent)

RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:464353 CAPLUS

DN 129:95305

TI Preparation of adamantyl-substituted stilbenes as dermatological agents

IN Bernardon, Jean-Michel

PA Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galder, Fr.

SO Eur. Pat. Appl., 28 pp.
 CODEN: EPXXDW

DT **Patent**

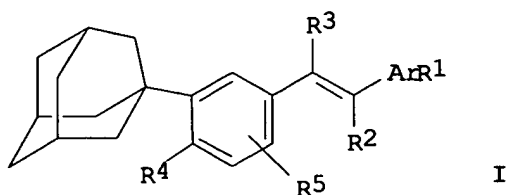
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 850909	A1	19980701	EP 1997-403043	19971215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	FR 2757852	A1	19980703	FR 1996-16311	19961231
	FR 2757852	B1	19990219		
	AT 199893	E	20010415	AT 1997-403043	19971215
	PT 850909	T	20010731	PT 1997-403043	19971215
	ES 2159382	T3	20011001	ES 1997-403043	19971215
	ZA 9711313	A	19980623	ZA 1997-11313	19971217
	AU 9748477	A1	19980702	AU 1997-48477	19971218
	AU 699626	B2	19981210		
	BR 9706311	A	19990518	BR 1997-6311	19971222
	CA 2224528	AA	19980630	CA 1997-2224528	19971230
	US 6214878	B1	20010410	US 1997-2040	19971231

JP 10226667	A2	19980825	JP 1998-501	19980105
JP 2962699	B2	19991012		
US 2002010337	A1	20020124	US 2001-788469	20010221
GR 3035917	T3	20010831	GR 2001-400771	20010522
US 2004067971	A1	20040408	US 2003-676089	20031002
PRAI FR 1996-16311	A	19961231		
US 1997-2040	A3	19971231		
US 2001-788469	A1	20010221		
OS MARPAT 129:95305				
GI				

this app.



AB The title compds. I [R1 = Me, alkoxymethyl, alkoxy, acyl; Ar = p-phenylene derivs., pyridyl derivs., 2,6-naphthalenediyl derivs., etc.; R2, R3 = H, alkyl; R4 = Xm(CH2)nY(CH2)pR10 (m = 0, 1; n = 1-6; p = 1-6; X = O, SOq; Y = O, SOq, NR9; q = 0-2; R9 = H, alkyl, acyl; R10 = mono- or polyhydroxyalkyl, acyl); R5 = H, halo, alkyl, alkoxy] were prepared as dermatol. agents. E.g., 3-(1-adamantyl)-4-methoxyethoxymethoxyphenylcarboxaldehyde, prepared from 2-(1-adamantyl)-4-bromophenol and 2-methoxyethoxymethyl chloride, was formylated, the reacted with di-Et 4-ethoxycarbonylbenzylphosphonate to give Et 4-[(E)-2-(3-(1-adamantyl)-4-methoxyethoxymethoxyphenyl)ethenyl]benzoate.

IT 209747-24-0P 209747-26-2P 209747-29-5P
 209747-32-0P 209747-35-3P 209747-38-6P
 209747-40-0P 209747-42-2P 209747-44-4P
 209747-46-6P 209747-58-0P 209747-60-4P
 209747-62-6P

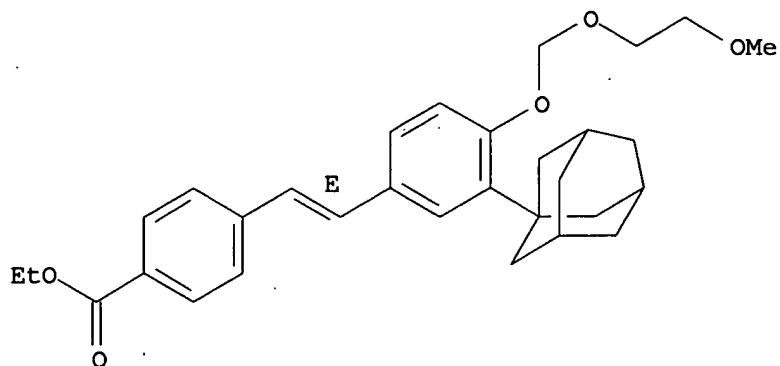
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of adamantyl-substituted stilbenes as dermatol. agents)

RN 209747-24-0 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]ethenyl]-, ethyl ester (9CI) (CA INDEX NAME)

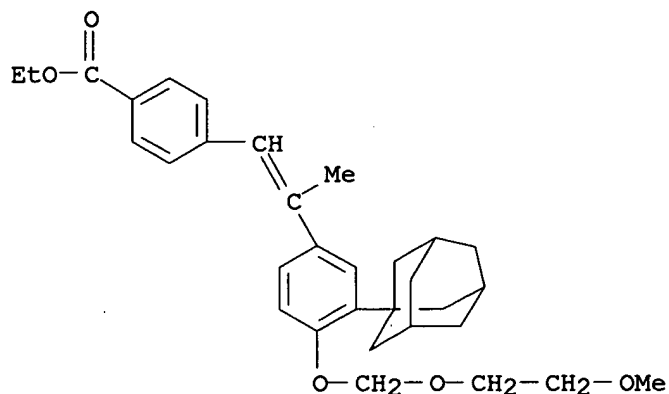
Double bond geometry as shown.

10676089



RN 209747-26-2 CAPLUS

CN Benzoic acid, 4-[2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 209747-29-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

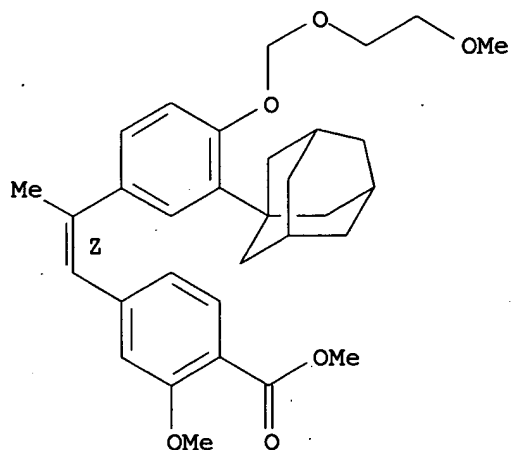
3-Pyridinecarboxylic acid, 6-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Chemical structure of compound 10: A pyridine ring substituted with an ethyl ester group at the 3-position and a (E)-2-methyl-5-(adamantan-1-yl)-5-(2-methoxyethoxy)benzyl group at the 2-position.

205717-33-3 31-1205
CN Benzoic acid, 2-methoxy-4-[(1Z)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

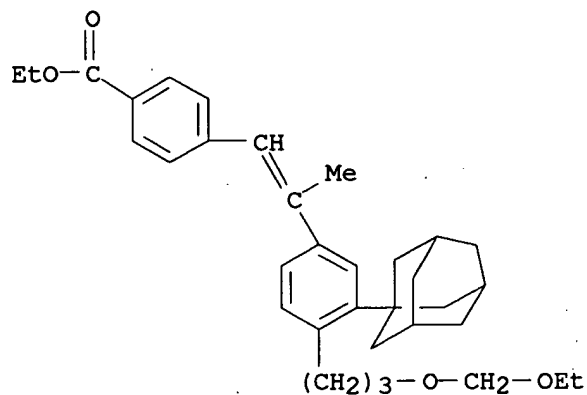
Page 10

10676089



RN 209747-38-6 CAPLUS

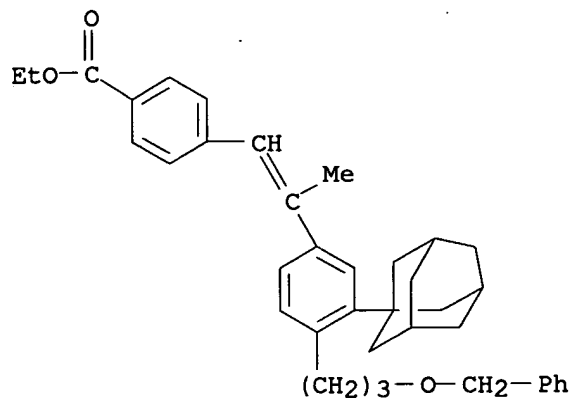
CN Benzoic acid, 4-[2-[4-[3-(ethoxymethoxy)propyl]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 209747-40-0 CAPLUS

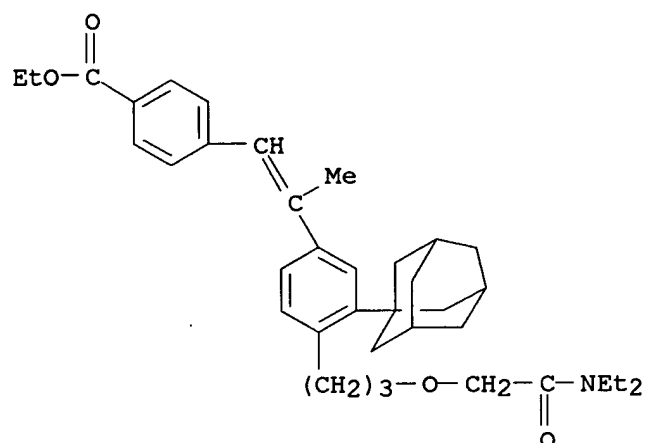
CN Benzoic acid, 4-[2-[4-[3-(phenylmethoxy)propyl]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10676089



RN 209747-42-2 CAPLUS

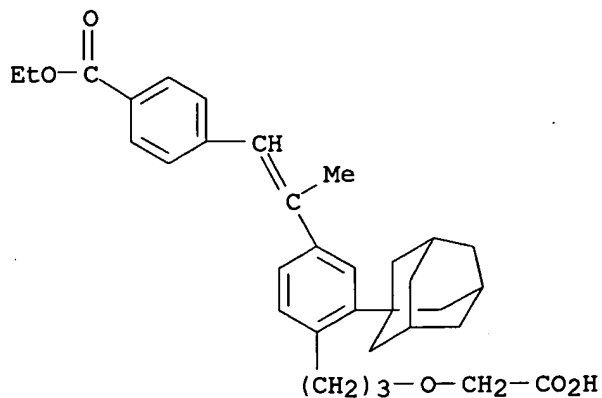
CN Benzoic acid, 4-[2-[4-[3-[2-(diethylamino)-2-oxoethoxy]propyl]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 209747-44-4 CAPLUS

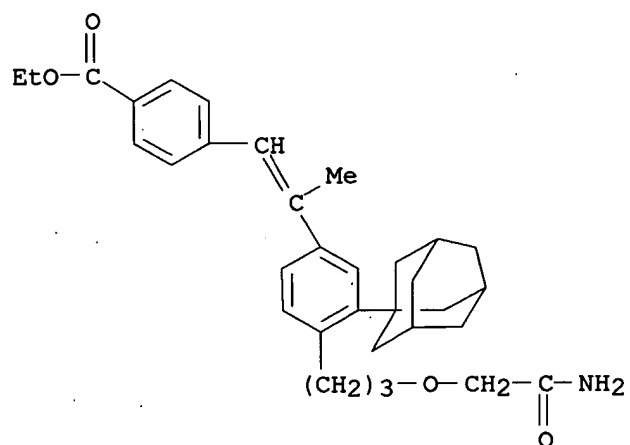
CN Benzoic acid, 4-[2-[4-[3-(carboxymethoxy)propyl]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

10676089



RN 209747-46-6 CAPLUS

CN Benzoic acid, 4-[2-[4-[3-(2-amino-2-oxoethoxy)propyl]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

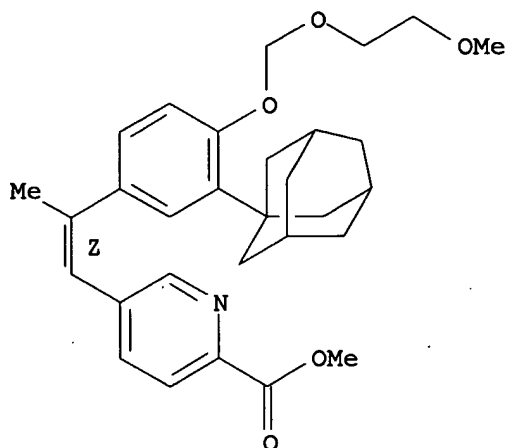


RN 209747-58-0 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1Z)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

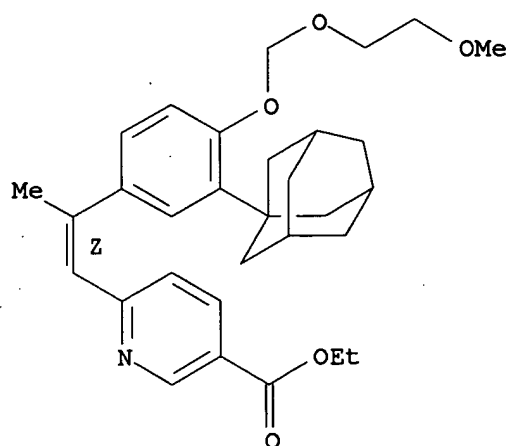
10676089



RN 209747-60-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[(1Z)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

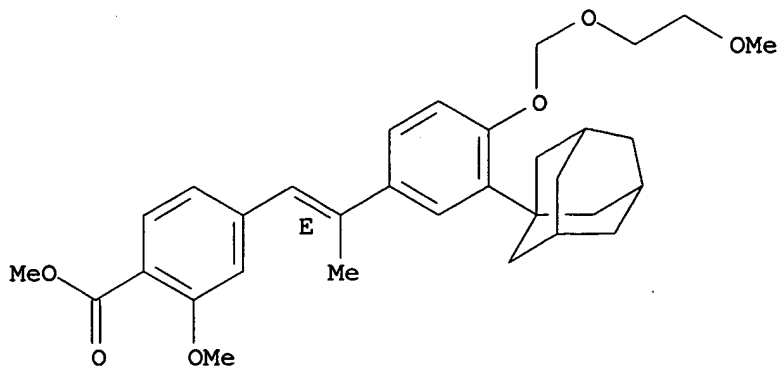
Double bond geometry as shown.



RN 209747-62-6 CAPLUS

CN Benzoic acid, 2-methoxy-4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



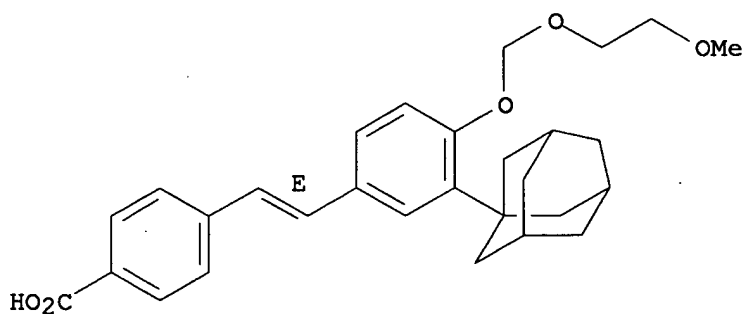
IT 209747-25-1P 209747-27-3P 209747-28-4P
 209747-30-8P 209747-31-9P 209747-33-1P
 209747-34-2P 209747-36-4P 209747-37-5P
 209747-39-7P 209747-41-1P 209747-43-3P
 209747-45-5P 209747-47-7P 209747-48-8P
 209747-49-9P 209747-50-2P 209747-51-3P
 209747-52-4P 209747-53-5P 209747-54-6P
 209747-55-7P 209747-56-8P 209747-57-9P
 209747-70-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of adamantyl-substituted stilbenes as dermatol. agents)

RN 209747-25-1 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.3,7]dec-1-ylphenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

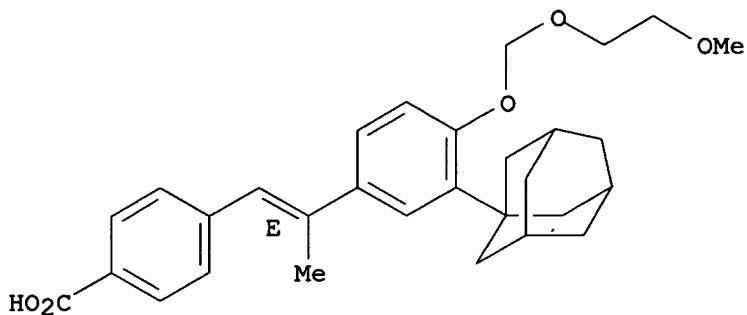


RN 209747-27-3 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.3,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

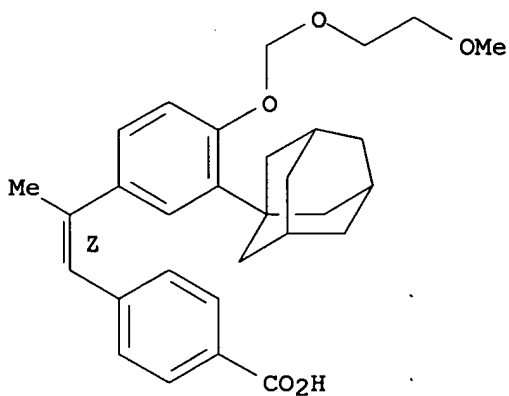
10676089



RN 209747-28-4 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[(2-methoxyethoxy)methoxy]-3-10-phenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

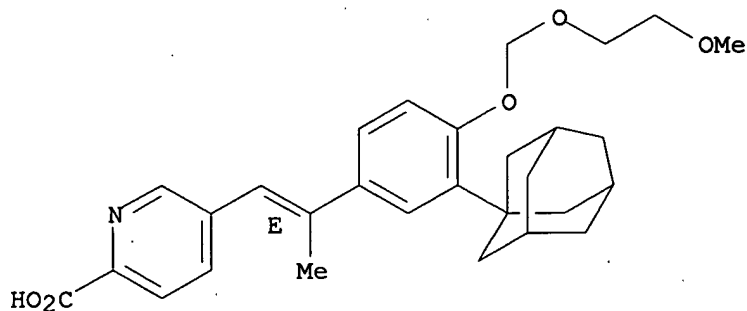
Double bond geometry as shown.



RN 209747-30-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-2-[(2-methoxyethoxy)methoxy]-3-10-phenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

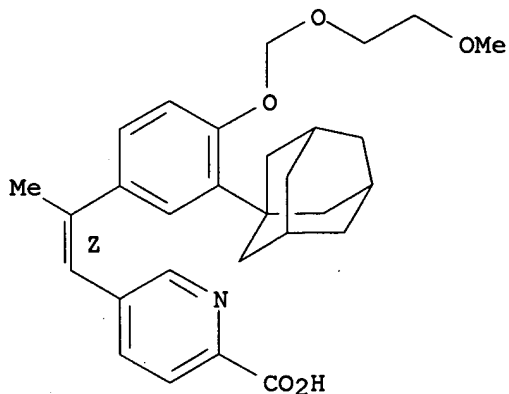


10676089

RN 209747-31-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1Z)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

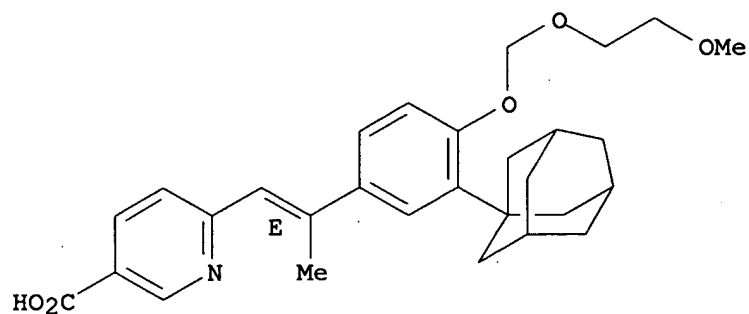
Double bond geometry as shown.



RN 209747-33-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

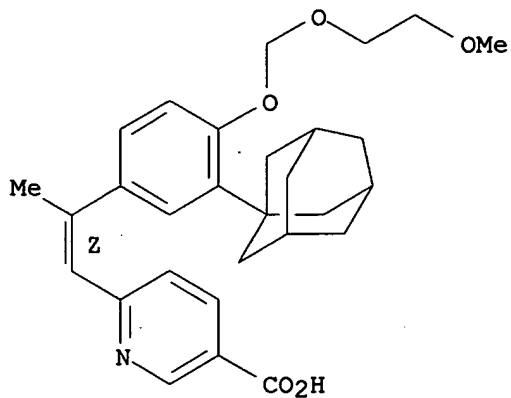


RN 209747-34-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[(1Z)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

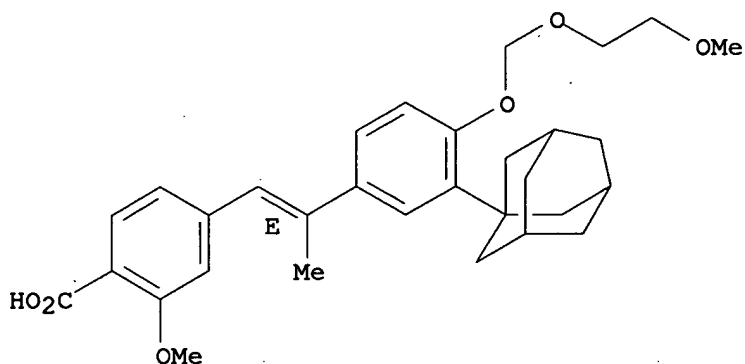
10676089



RN 209747-36-4 CAPLUS

CN Benzoic acid, 2-methoxy-4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

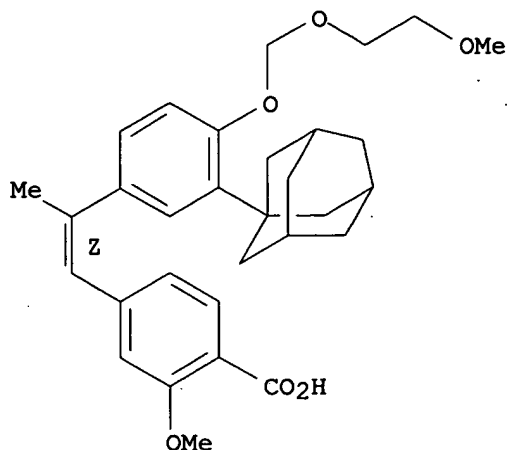


RN 209747-37-5 CAPLUS

CN Benzoic acid, 2-methoxy-4-[(1Z)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

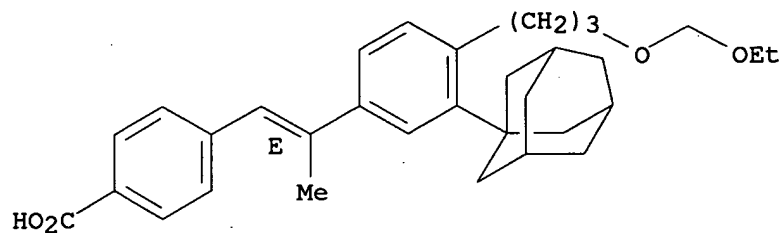
10676089



RN 209747-39-7 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[3-(ethoxymethoxy)propyl]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

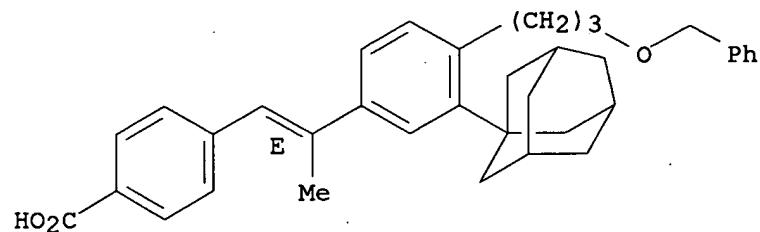
Double bond geometry as shown.



RN 209747-41-1 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[3-(phenylmethoxy)propyl]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

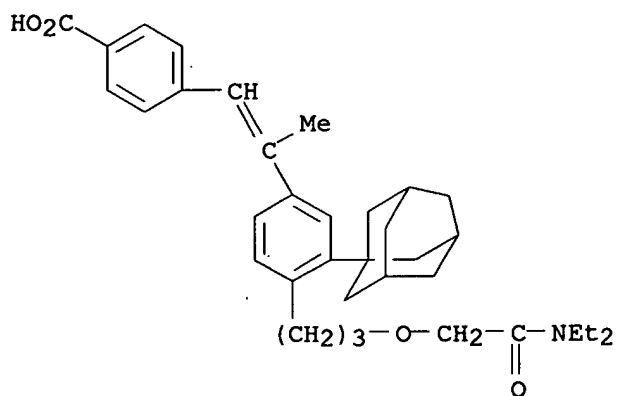
Double bond geometry as shown.



RN 209747-43-3 CAPLUS

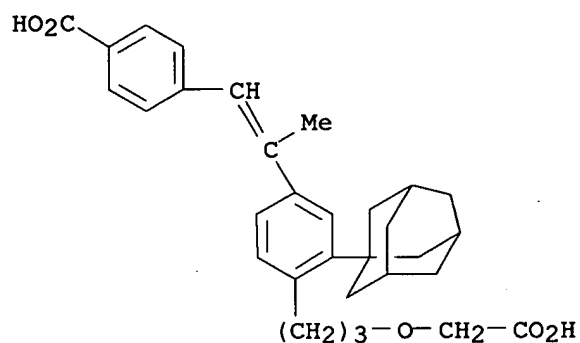
CN Benzoic acid, 4-[2-[4-[3-[2-(diethylamino)-2-oxoethoxy]propyl]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

10676089



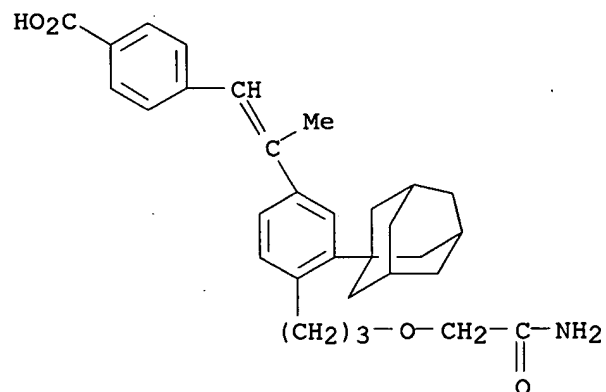
RN 209747-45-5 CAPLUS

CN Benzoic acid, 4-[2-[4-[3-(carboxymethoxy)propyl]-3-tricyclo[3.3.1.3⁰,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 209747-47-7 CAPLUS

CN Benzoic acid, 4-[2-[4-[3-(2-amino-2-oxoethoxy)propyl]-3-tricyclo[3.3.1.3⁰,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

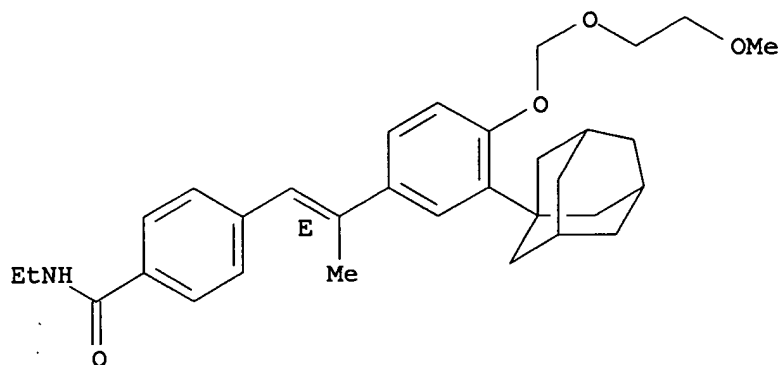


10676089

RN 209747-48-8 CAPLUS

205717-13-9
CN Benzamide, N-ethyl-4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]-(9CI) (CA INDEX NAME)

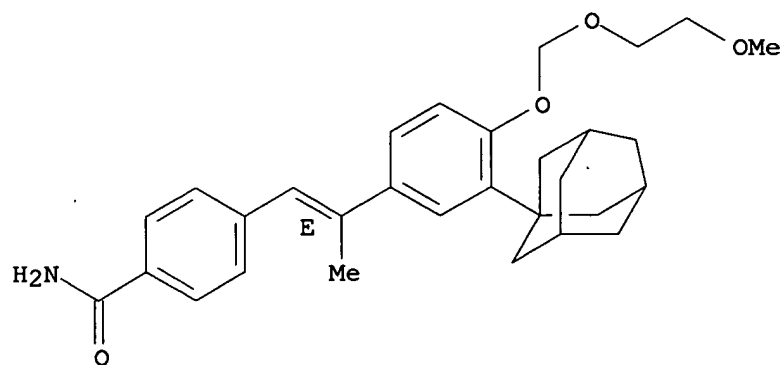
Double bond geometry as shown.



RN 209747-49-9 CAPLUS

CN Benzamide, 4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

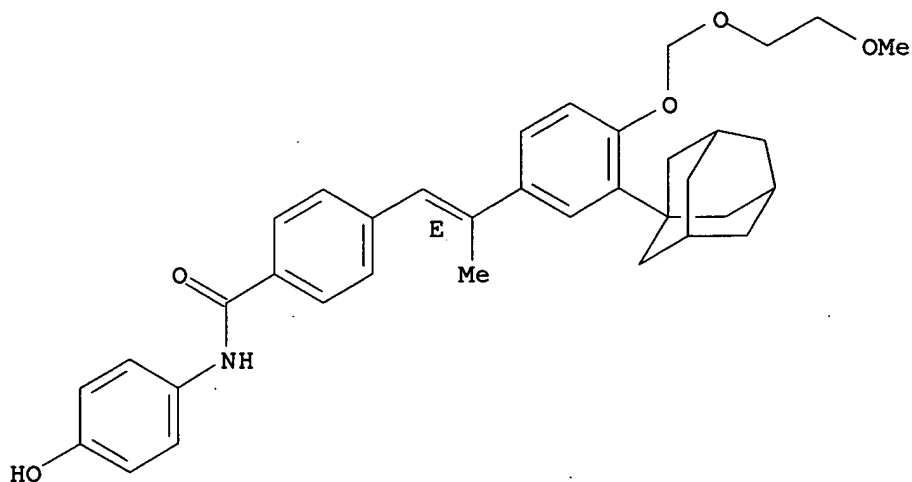
Double bond geometry as shown.



RN 209747-50-2 CAPLUS

Benamide, N-(4-hydroxyphenyl)-4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.3^{2,7}]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

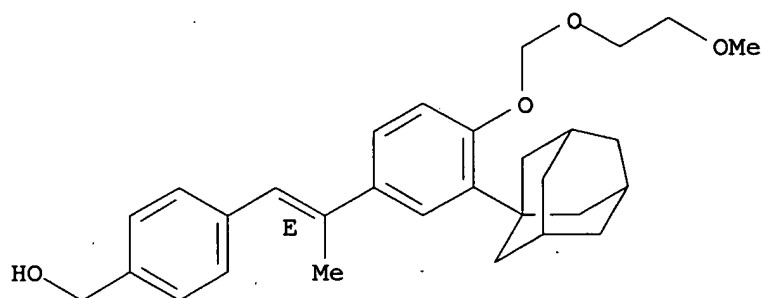
Double bond geometry as shown.



RN 209747-51-3 CAPLUS

CN Benzenemethanol, 4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.3,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

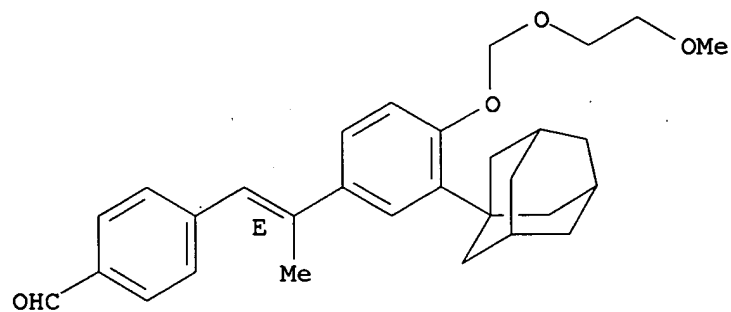
Double bond geometry as shown.



RN 209747-52-4 CAPLUS

CN Benzaldehyde, 4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.3,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

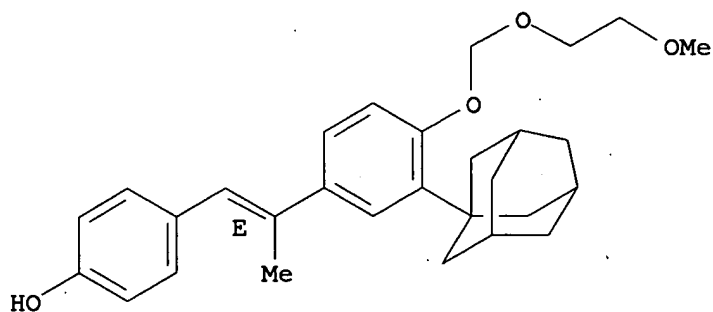


10676089

RN 209747-53-5 CAPLUS

CN Phenol, 4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

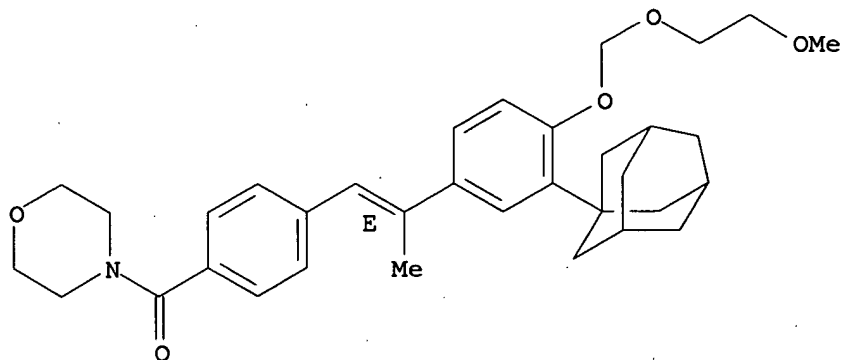
Double bond geometry as shown.



RN 209747-54-6 CAPLUS

CN Morpholine, 4-[4-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

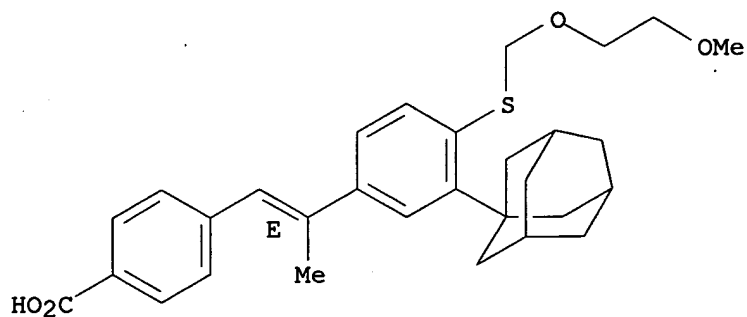


RN 209747-55-7 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[[2-methoxyethoxy)methyl]thio]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

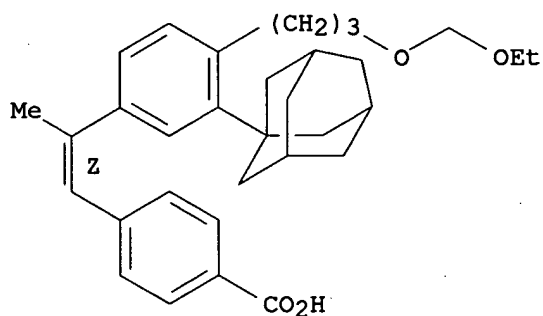
10676089



RN 209747-56-8 CAPLUS

CN Benzoic acid, 4-[(1Z)-2-[4-[3-(ethoxymethoxy)propyl]-3-tricyclo[3.3.1.3^0,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

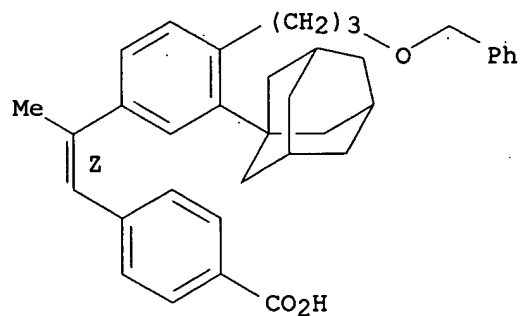
Double bond geometry as shown.



RN 209747-57-9 CAPLUS

CN Benzoic acid, 4-[(1Z)-2-[4-[3-(phenylmethoxy)propyl]-3-tricyclo[3.3.1.3^0,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



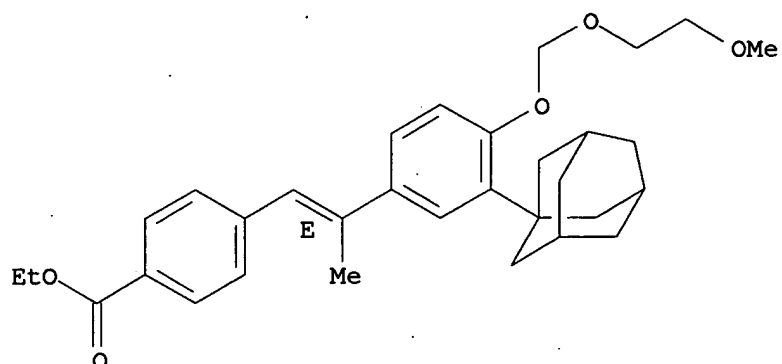
RN 209747-70-6 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[3-(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.3^0,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA

10676089

INDEX NAME)

Double bond geometry as shown.



IT 209747-59-1P 209747-68-2P 209747-69-3P

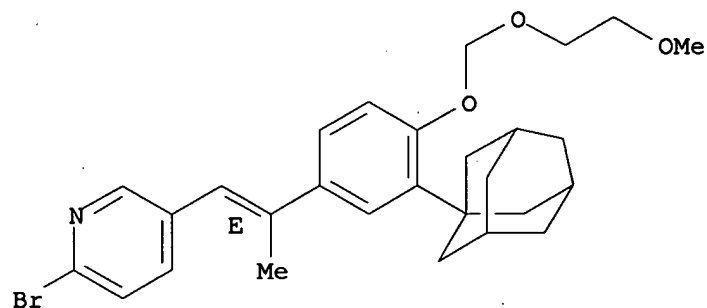
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of adamantyl-substituted stilbenes as dermatol. agents)

RN 209747-59-1 CAPLUS

CN Pyridine, 2-bromo-5-[(1E)-2-[4-[(2-methoxyethoxy)methoxy]-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

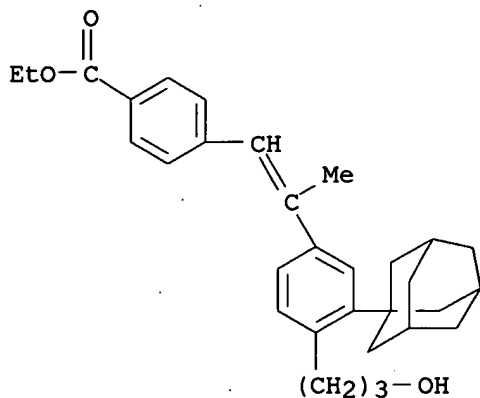
Double bond geometry as shown.



RN 209747-68-2 CAPLUS

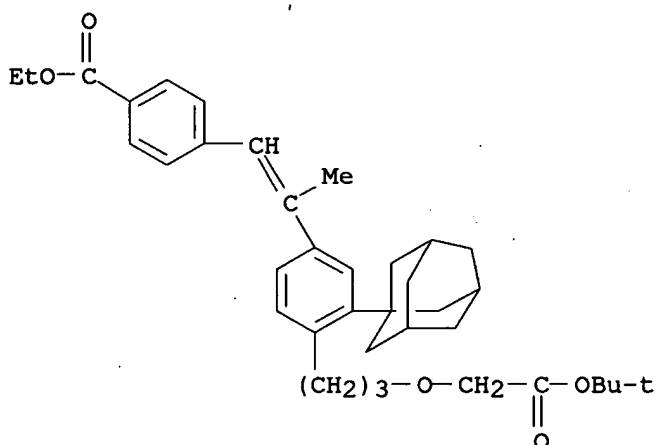
CN Benzoic acid, 4-[2-[4-(3-hydroxypropyl)-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10676089



RN 209747-69-3 CAPLUS

CN Benzoic acid, 4-[2-[4-[3-[2-(1,1-dimethylethoxy)-2-oxoethoxy]propyl]-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:65810 CAPLUS

DN 128:140528

TI Preparation of apoptosis inducing adamantyl substituted retinoids for pharmaceutical and cosmetic uses

IN Pfahl, Magnus; Lu, Xian-ping; Rideout, Darryl; Zhang, Hongyue

PA Centre International de Recherches Dermatologiques Galderma, Fr.; Pfahl, Magnus; Lu, Xian-Ping; Rideout, Darryl; Zhang, Hongyue

SO PCT Int. Appl., 95 pp.

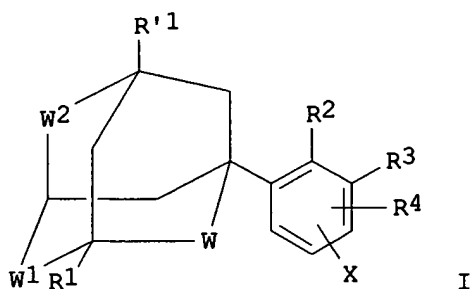
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9801132	A1	19980115	WO 1997-US11564	19970708
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2259936	AA	19980115	CA 1997-2259936	19970708
	AU 9736485	A1	19980202	AU 1997-36485	19970708
	AU 719311	B2	20000504		
	EP 920312	A1	19990609	EP 1997-933256	19970708
	EP 920312	B1	20041208		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9710255	A	19990810	BR 1997-10255	19970708
	CN 1230111	A	19990929	CN 1997-197743	19970708
	NZ 333800	A	20000825	NZ 1997-333800	19970708
	JP 2000515506	T2	20001121	JP 1998-505270	19970708
	RU 2209626	C2	20030810	RU 1999-102174	19970708
	AT 284213	E	20041215	AT 1997-933256	19970708
	ES 2231877	T3	20050516	ES 1997-933256	19970708
	NO 9900066	A	19990308	NO 1999-66	19990107
	KR 2000023626	A	20000425	KR 1999-700075	19990108
	MX 9900418	A	20000630	MX 1999-418	19990108
	US 6127415	A	20001003	US 1999-214422	19990414
	US 6462064	B1	20021008	US 2000-498347	20000204
	US 2003073745	A1	20030417	US 2002-176778	20020624
	US 6825226	B2	20041130		
PRAI	US 1996-21285P	P	19960708		
	WO 1997-US11564	W	19970708		
	US 1999-214422	A2	19990414		
	US 2000-498347	A3	20000204		
OS	MARPAT 128:140528				
GI					



AB Adamantyl substituted retinoid like aryls I [W = W1 = W2 = CH₂, O, S, SO, SO₂; X = aryl group such as naphthyl, connecting group and Ph such as

phenylethenyl; heterocyclyl such as benzimidazolyl; R1 = R'1 = H, halogen, alkyl; R2 = OH, alkyl, alkoxy, acyl, aminocarbonyl; R3 = H, OH, alkyl, alkoxy; R2R3 = fused ring such as OCH2O; R4 = H, alkyl, alkoxy, halogen] were prepared to induce apoptosis of cancer cells. These adamantyl retinoid derivs. are useful for the treatment of many cancers and solid tumors, especially androgen-independent prostate cancer, skin cancer, pancreatic carcinomas, colon cancer, melanoma, ovarian cancer, liver cancer, small cell lung carcinoma, non-small cell lung carcinoma, cervical carcinoma, brain cancer, bladder cancer, breast cancer, neuroblastoma/glioblastoma, and leukemia, as well as treatment or prevention of keratinization disorders, dermatol. conditions, and other diseases. Thus, Me 6-[3-(3,5-dimethyl-1-adamantyl)-4-methoxyphenyl]-2-naphthoate was prepared in 68% yield by reaction of Me 6-(4-methoxyphenyl)-2-naphthoate and 3,5-dimethyl-1-adamantyl acetate. The prepared compds. were tested against a panel of human tumor cell lines.

IT 202193-00-8P

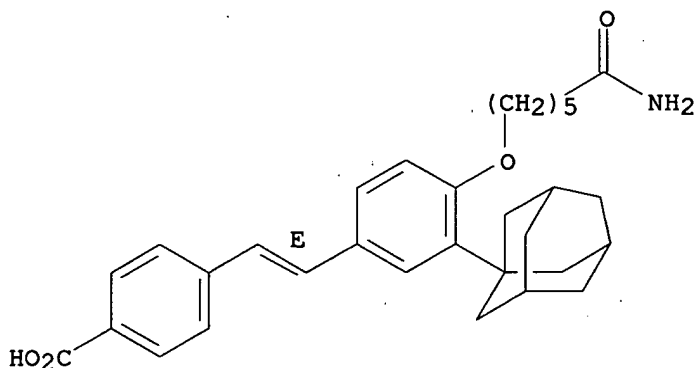
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of apoptosis inducing adamantyl substituted retinoids for treatment of cancer, keratinization disorders, and dermatol. conditions)

RN 202193-00-8 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(6-amino-6-oxohexyl)oxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:496688 CAPLUS

DN 127:104338

TI Use of an agonist ligand specific for RAR-γ

IN Fesus, Laszlo; Szondy, Zsuzsa; Reichert, Uwe

PA Centre International De Recherches Dermatologiques Galderma Cird Galderma
Groupement d'Interet Economique, Fr.

SO Fr. Demande, 14 pp.

CODEN: FRXXBL

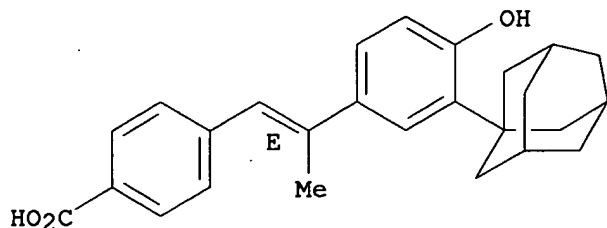
DT Patent

LA French

FAN.CNT 2

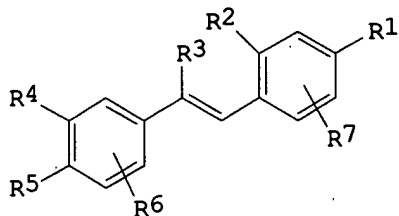
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2739557	A1	19970411	FR 1995-12179	19951009
	FR 2739557	B1	19971114		
	CA 2231561	AA	19970417	CA 1996-2231561	19961008
	WO 9713505	A2	19970417	WO 1996-FR1568	19961008
	WO 9713505	A3	19970529		
	W: AU, BR, CA, CN, HU, JP, KR, MK, MX, NO, NZ, PL, RU, TR, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9672209	A1	19970430	AU 1996-72209	19961008
	AU 705993	B2	19990603		
	EP 854710	A2	19980729	EP 1996-933502	19961008
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1203528	A	19981230	CN 1996-198769	19961008
	CN 1121857	B	20030924		
	JP 11501661	T2	19990209	JP 1996-514767	19961008
	BR 9610967	A	19990302	BR 1996-10967	19961008
	NZ 319646	A	20000825	NZ 1996-319646	19961008
	JP 3135266	B2	20010213	JP 1997-514767	19961008
	RU 2188037	C2	20020827	RU 1998-108590	19961008
	PL 185826	B1	20030829	PL 1996-326077	19961008
	NO 9801592	A	19980609	NO 1998-1592	19980407
	US 6593359	B1	20030715	US 1998-51407	19980715
	US 6686386	B1	20040203	US 1999-431920	19991102
	US 6506796	B1	20030114	US 2000-624771	20000725
	US 2001018456	A1	20010830	US 2001-801664	20010309
	US 2003092758	A1	20030515	US 2002-183518	20020628
PRAI	FR 1995-12179	A	19951009		
	WO 1996-FR1568	W	19961008		
	US 1998-51407	A3	19980715		
AB	The invention discloses an agonist ligand specific for RAR- γ receptors and preparation of a pharmaceutical formulation for increasing apoptosis in at least one cell-type population. The ligand can also be part of a cosmetic composition used to combat or prevent aging of the skin induced by light or passage of time. The agonist can be 6-3-(1-adamantyl)-4-hydroxyphenyl-2-naphthanoic acid, (E)-4-(1-hydroxy-1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthyl)-2-propenyl)benzoic acid, or 4-[(E)-2-(3-(1-adamantyl)-4-hydroxyphenyl)-propenyl]benzoic acid.				
IT	146965-65-3 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (agonist ligand specific for RAR- γ for prevention of skin aging)				
RN	146965-65-3 CAPLUS				
CN	Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1 ^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)				

Double bond geometry as shown.

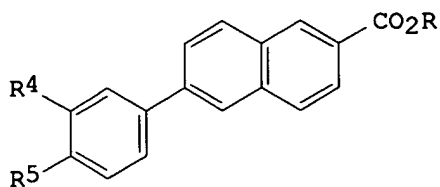


L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1993:212701 CAPLUS
 DN 118:212701
 TI Preparation and formulation of 6-phenyl-2-naphthoates and analogs as
 antiproliferative agents
 IN Charpentier, Bruno
 PA Centre International de Recherches Dermatologiques, Fr.
 SO PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9219583	A1	19921112	WO 1992-FR404	19920504
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	FR 2676052	A1	19921106	FR 1991-5394	19910502
	CA 2109425	AA	19921103	CA 1992-2109425	19920504
	AU 9217777	A1	19921221	AU 1992-17777	19920504
	AU 659158	B2	19950511		
	EP 584191	A1	19940302	EP 1992-911015	19920504
	EP 584191	B1	19970813		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
	JP 06509558	T2	19941027	JP 1992-509841	19920504
	AT 156800	E	19970815	AT 1992-911015	19920504
	ES 2107535	T3	19971201	ES 1992-911015	19920504
	US 5547983	A	19960820	US 1994-140079	19940822
PRAI	FR 1991-5394	A	19910502		
	WO 1992-FR404	A	19920504		
OS	MARPAT 118:212701				
GI					



I



II

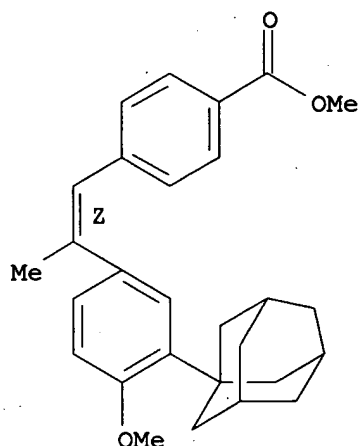
AB Title compds. [I; R1 = H, Me, OH, alkoxy, CO2H, alkoxycarbonyl, etc.; R2 = H; R3 = H, aryl, (ar)alkyl, etc.; R2R3 = CH:CH; R4 = alkyl, cycloaliph. group; R5 = hydroxyalk(en)yl, hydroxyalkoxy, etc.; R6, R7 = H, halo, alkyl, OH, etc.] were prepared as antiproliferative agents. I are effective at 0.01-100 mg/kg. Thus, phenylnaphthoate II (R4 = 1-adamantyl) (III; R = Me, R5 = OH) was condensed with Br(CH2)3NHCPH3 to give, after saponification and deprotection, III [R = H, R5 = O(CH2)3NH2].

IT **146966-08-7P 146966-09-8P 146966-10-1P 146966-15-6P 146998-39-2P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of antiproliferative agents)

RN 146966-08-7 CAPLUS

CN Benzoic acid, 4-[2-(4-methoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

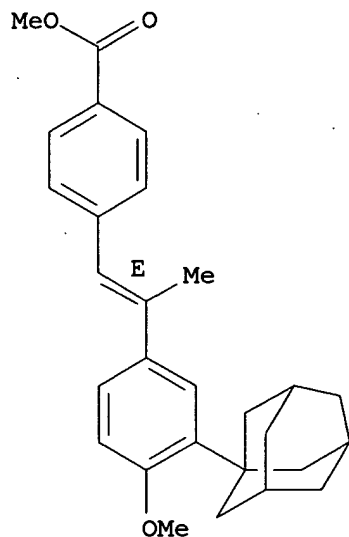


RN 146966-09-8 CAPLUS

CN Benzoic acid, 4-[2-(4-methoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

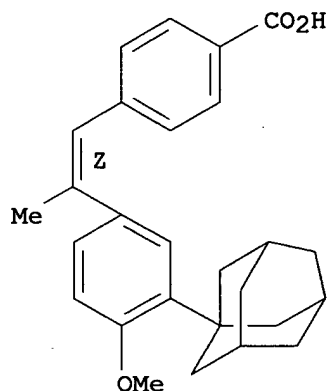
10676089



RN 146966-10-1 CAPLUS

CN Benzoic acid, 4-[2-(4-methoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

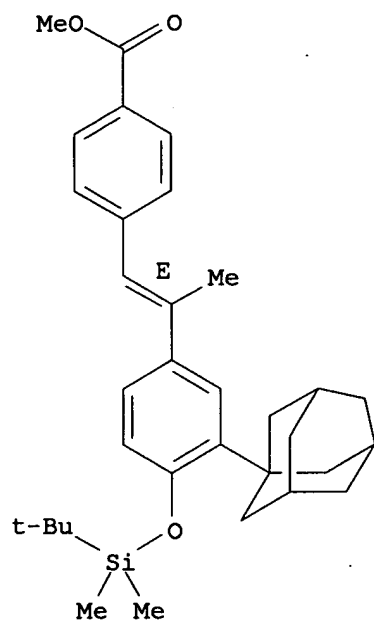


RN 146966-15-6 CAPLUS

CN Benzoic acid, 4-[2-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]-1-propenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

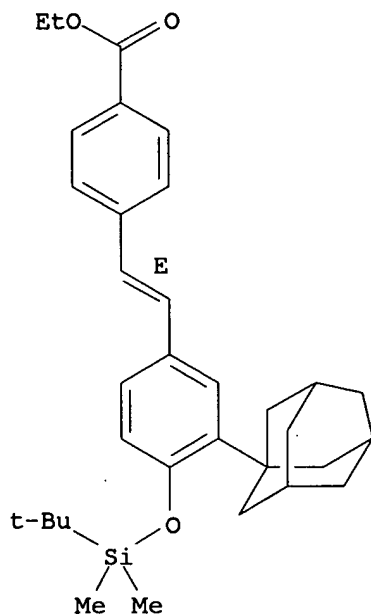
10676089



RN 146998-39-2 CAPLUS

CN Benzoic acid, 4-[2-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]ethenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 146965-64-2P 146965-65-3P 146965-79-9P
146998-38-1P

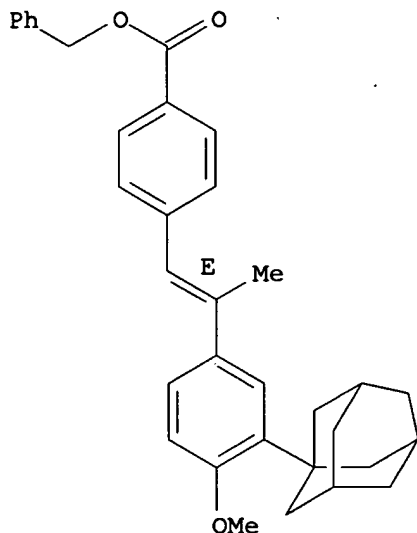
10676089

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiproliferative agent)

RN 146965-64-2 CAPLUS

CN Benzoic acid, 4-[2-(4-methoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, phenylmethyl ester, (E)- (9CI) (CA INDEX NAME)

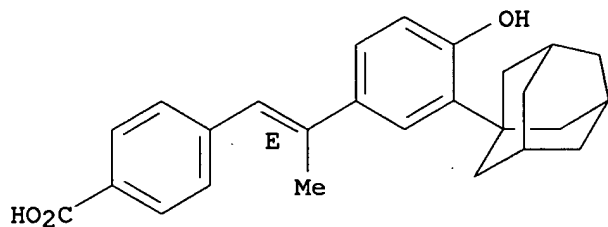
Double bond geometry as shown.



RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



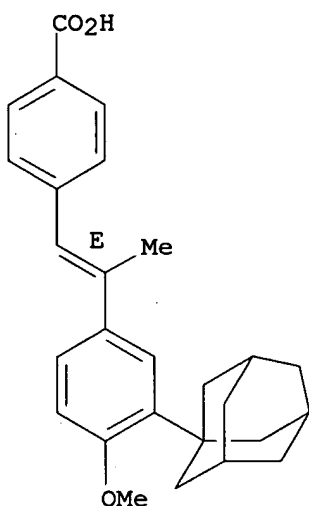
RN 146965-79-9 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

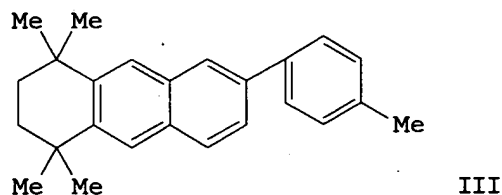
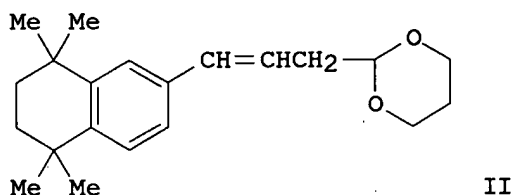
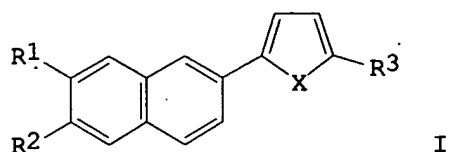
Chemical structure of compound 1: A trans-stilbene derivative. The left phenyl ring is substituted with a carboxylic acid group (HO₂C) at the para position. The two phenyl rings are connected by a trans-alkene (E). The right phenyl ring is substituted with a hydroxyl group (OH) at the ortho position and a norbornene moiety at the para position.

Double bond geometry as shown.



	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5124473	A	19920623	US 1990-580916	19900912
	CA 1297127	A2	19920310	CA 1990-615615	19900122
	NO 9000384	A	19870126	NO 1990-384	19900126

NO 167911	B	19910916		
NO 167911	C	19911227		
NO 9000385	A	19870126	NO 1990-385	19900126
NO 167732	B	19910826		
NO 167732	C	19911204		
US 5434180	A	19950718	US 1992-859046	19920327
US 5602104	A	19970211	US 1995-421235	19950413
US 5686586	A	19971111	US 1996-725496	19961004
PRAI LU 1985-86022	A	19850725		
US 1986-887618	B2	19860721		
NO 1986-2966	A1	19860723		
CA 1986-514630	A	19860724		
US 1990-580916	A3	19900912		
US 1992-859046	A3	19920327		
US 1995-421235	A3	19950413		
OS MARPAT 118:22036				
GI				



AB Title compds. I [X = CH:CH, O, S; R1 = H, C3-15 branched alkyl, C1-6 alkoxy, 1-adamantyl; R2 = H, OH, C1-15 alkyl, C1-6 alkoxy or R1R2 = atoms to complete a fused 5- or 6-carbon ring which may be substituted by alkyl or interrupted by O, R1 ≠ R2 = H; R3 = CH2OH, COR4, or Me when R1R2 = atoms to complete ring; R4 = OR5, (substituted) amino; R5 = H, C1-20 alkyl, hydroxyalkyl, aryl, aralkyl, etc.] were prepared as cosmetics and for treatment of skin disease (no data). Thus, tetrahydronaphthalene derivative II (preparation given), 4-bromotoluene, Pd(OAc)₂, Ph₃P and K₂CO₃ were heated at 180° for 2 h and the product was cyclized in CH₂Cl₂ containing CF₃SO₂OSiMe₃ to give title compound III in 80% yield. A number of cosmetic formulations containing I were prepared

IT **107430-80-8P 107430-95-5P 107430-98-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)

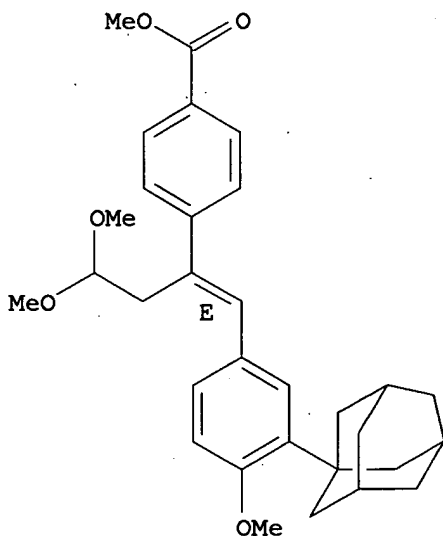
10676089

(preparation of, as intermediate for dermatol. and cosmetic agent)

RN 107430-80-8 CAPLUS

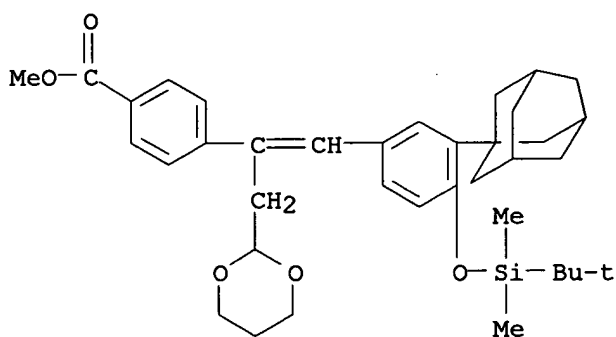
CN Benzoic acid, 4-[3,3-dimethoxy-1-[(4-methoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)methylene]propyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 107430-95-5 CAPLUS

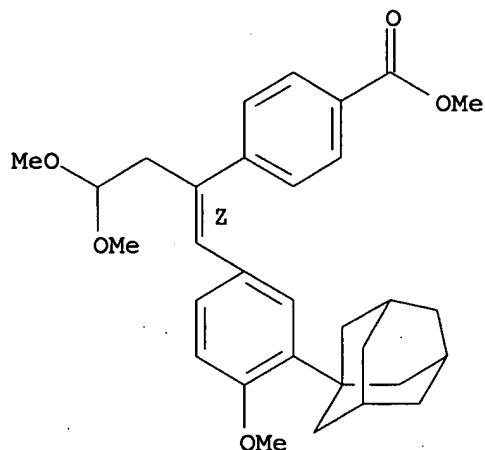
CN Benzoic acid, 4-[2-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-yl]-1-(1,3-dioxan-2-ylmethyl)ethenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 107430-98-8 CAPLUS

CN Benzoic acid, 4-[3,3-dimethoxy-1-[(4-methoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)methylene]propyl]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

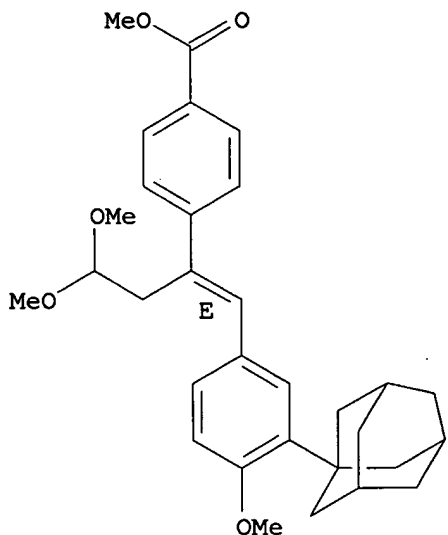


L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1987:138100 CAPLUS
 DN 106:138100
 TI Aromatic polycyclic derivatives, process for their preparation and their pharmaceutical and cosmetic use
 IN Shroot, Braham; Eustache, Jacques; Watts, Oliver; Bernardon, Jean Michel; Nedoncelle, Philippe
 PA Centre International de Recherches Dermatologiques (CIRD), Fr.
 SO Eur. Pat. Appl., 35 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 210929	A2	19870204	EP 1986-401671	19860725
	EP 210929	A3	19881012		
	EP 210929	B1	19920603		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	NO 8602966	A	19870126	NO 1986-2966	19860723
	NO 166936	B	19910610		
	NO 166936	C	19910918		
	DK 8603524	A	19870126	DK 1986-3524	19860724
	DK 170769	B1	19960115		
	FI 8603036	A	19870126	FI 1986-3036	19860724
	FI 87454	B	19920930		
	FI 87454	C	19930111		
	AU 8660499	A1	19870129	AU 1986-60499	19860724
	AU 596109	B2	19900426		
	JP 62036337	A2	19870217	JP 1986-175471	19860724
	JP 2614606	B2	19970528		
	ES 2002727	A6	19881001	ES 1986-1337	19860724
	CA 1269371	A1	19900522	CA 1986-514630	19860724
	CA 1271422	A1	19900710	CA 1986-514631	19860724
	ZA 8605572	A	19870325	ZA 1986-5572	19860725
	AT 76864	E	19920615	AT 1986-401671	19860725
	CA 1297127	A2	19920310	CA 1990-615615	19900122

NO 9000384	A	19870126	NO 1990-384	19900126
NO 167911	B	19910916		
NO 167911	C	19911227		
NO 9000385	A	19870126	NO 1990-385	19900126
NO 167732	B	19910826		
NO 167732	C	19911204		
DK 9100655	A	19910411	DK 1991-655	19910411
PRAI LU 1985-86022	A	19850725		
NO 1986-2966	A1	19860723		
CA 1986-514630	A	19860724		
EP 1986-401671	A	19860725		
GI	For diagram(s), see printed CA Issue.			
AB	<p>The title compds. (I; X = O, S, CH:CH; R1, R2 = H, alkyl, alkoxy; R1R2 = groups needed to form 5- or 6-membered ring; R3 = CH2OH, alkoxy carbonyl, amide where the amino function can be heterocycle and amino sugar, etc.), useful as dermatol. agents for pharmaceuticals and cosmetics (no data), are prepared A dioxane solution of 83.58 g Et p-bromobenzoate was treated with 41.0 g acrolein di-Me acetal in the presence of Pd(OAc)2, K2CO3, and Ph3P, the mixture was heated at 110° for 16 h and the resulting oil was hydrogenated to give 79% Et p-(3,3-dimethoxypropyl)benzoate which was sequentially brominated (NBS) and treated with P(OEt)3 to give 45% Et p-(1-diethoxyphosphoryl-3,3-dimethoxypropyl)benzoate (II). II was coupled with 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthaldehyde and the resulting products were treated with CF3SO3SiMe3 and then deprotected to give Et p-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-anthracenyl)benzoate (III). A gel was formulated containing III 0.005, hydroxypropylcellulose 2.000, and 50/50 EtOH/H2O 100 g (q.s.p.). Cosmetic formulations are also given.</p>			
IT	<p>107430-80-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deprotection of)</p>			
RN	107430-80-8 CAPLUS			
CN	Benzoic acid, 4-[3,3-dimethoxy-1-[(4-methoxy-3-tricyclo[3.3.1.1 ^{3,7}]dec-1-ylphenyl)methylene]propyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)			

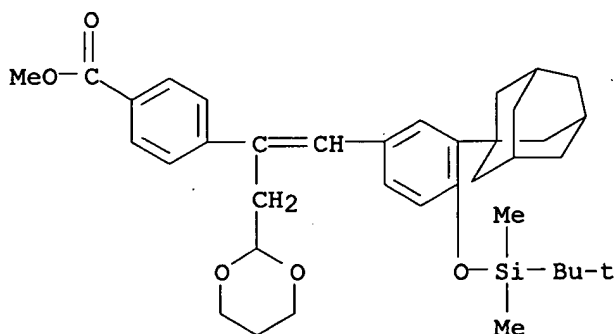
Double bond geometry as shown.



IT 107430-95-5P 107430-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

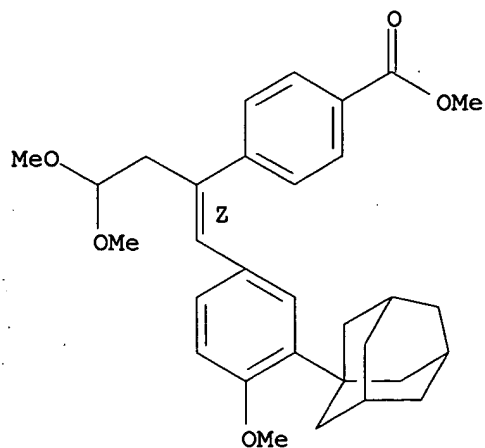
RN 107430-95-5 CAPLUS

CN Benzoic acid, 4-[2-[4-[[[1,1-dimethylethyl)dimethylsilyl]oxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-yl]-1-(1,3-dioxan-2-ylmethyl)ethenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 107430-98-8 CAPLUS

CN Benzoic acid, 4-[3,3-dimethoxy-1-[(4-methoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)methylene]propyl]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



12 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:891818 CAPLUS

DN 142:32381

TI Photo-caged agonists of the nuclear receptors RAR γ and TRP β provide unique time-dependent gene expression profiles for light-activated gene patterning

AU Link, Kristian H.; Cruz, Federico G.; Ye, Hai-Fen; O'Reilly, Kathryn E.; Dowdell, Sarah; Koh, John T.

CS Department of Chemistry and Biochemistry, University of Delaware, Newark, DE, 19176, USA

SO Bioorganic & Medicinal Chemistry (2004), 12(22), 5949-5959

CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Ltd.

DT Journal

LA English

AB Light-activated gene expression systems hold promise as new tools for studying spatial and temporal gene patterning in multicellular systems. Photo-caged forms of nuclear receptor agonists have recently been shown to mediate photo-dependent transcription in mammalian cells, however, because intracellularly released agonists can rapidly diffuse out of cells, the photo-initiated transcription response is only transient and limited to only a few hours in reported examples. Herein the authors describe a photo-caged thyroid hormone receptor agonist that provides a robust 36 h transcription response to a single irradiation event. These findings are in contrast to a closely related system, which uses a caged retinoic acid receptor agonist, which provides only a short transcription response. Comparison of the two systems, show that the duration of transcription response is not controlled by the rate of diffusion of free ligand out of the cell, but perhaps by the duration of ligand-induced transcription/stability of the active transcription complex.

IT 807380-26-3P

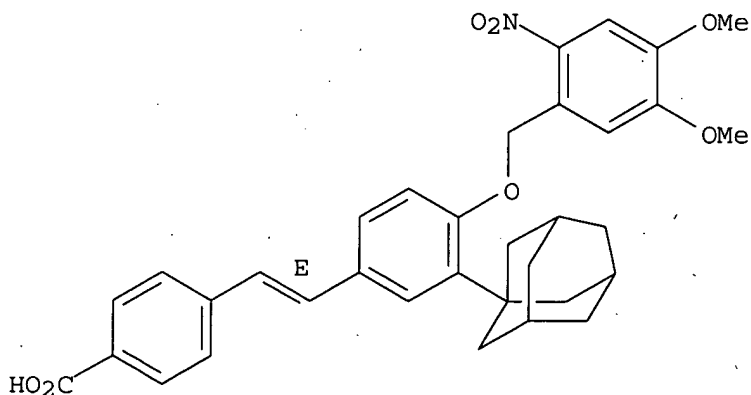
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(photo-caged agonists of nuclear receptors RAR γ and TRP β provide unique time-dependent gene expression profiles for light-activated gene patterning)

RN 807380-26-3 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(4,5-dimethoxy-2-nitrophenyl)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



10676089

IT 807380-50-3P 807380-63-8P

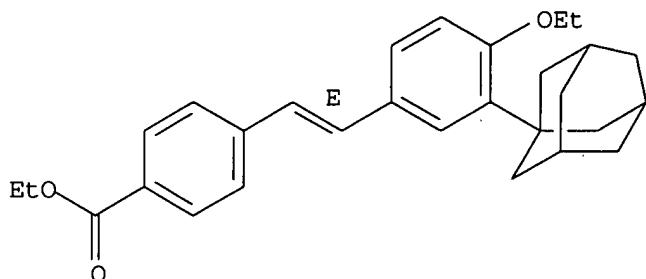
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(photo-caged agonists of nuclear receptors RAR γ and TR β provide unique time-dependent gene expression profiles for light-activated gene patterning)

RN 807380-50-3 CAPLUS

CN Benzoic acid, 4-[(1E)-2-(4-ethoxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)ethenyl]-, ethyl ester (9CI) (CA INDEX NAME)

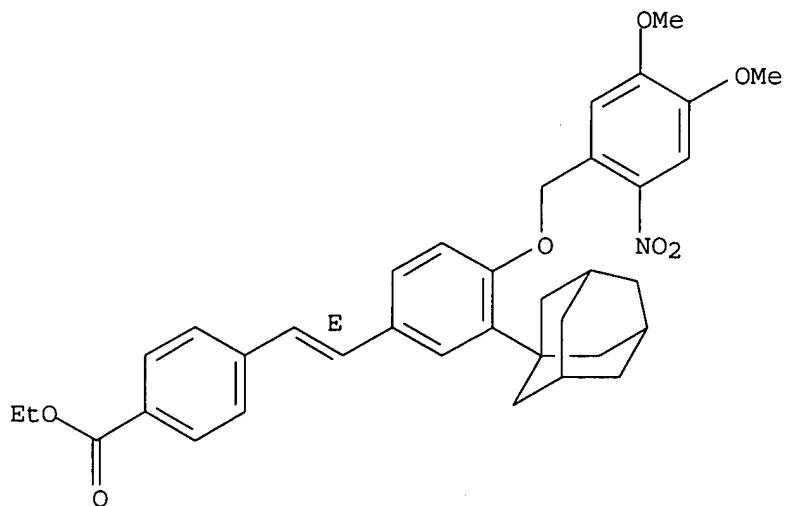
Double bond geometry as shown.



RN 807380-63-8 CAPLUS

CN Benzoic acid, 4-[(1E)-2-[4-[(4,5-dimethoxy-2-nitrophenyl)methoxy]-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl]ethenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 146965-79-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(photo-caged agonists of nuclear receptors RAR γ and TR β provide unique time-dependent gene expression profiles for

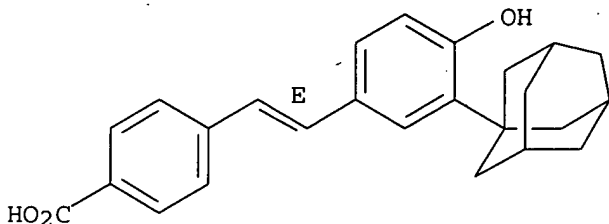
10676089

light-activated gene patterning)

RN 146965-79-9 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:79544 CAPLUS

DN 139:30338

TI Inhibition of I κ B kinase by a new class of retinoid-related anticancer agents that induce apoptosis

AU Bayon, Yolanda; Ortiz, Maria A.; Lopez-Hernandez, Francisco J.; Gao, Feng; Karin, Michael; Pfahl, Magnus; Piedrafita, F. Javier

CS Sidney Kimmel Cancer Center, San Diego, CA, USA

SO Molecular and Cellular Biology (2003), 23(3), 1061-1074

CODEN: MCEBD4; ISSN: 0270-7306

PB American Society for Microbiology

DT Journal

LA English

AB The transcription factor NF- κ B is overexpressed or constitutively activated in many cancer cells, where it induces expression of antiapoptotic genes correlating with resistance to anticancer therapies. Small mols. that inhibit the NF- κ B signaling pathway could therefore be used to induce apoptosis in NF- κ B-overexpressing tumors and potentially serve as anticancer agents. We found that retinoid antagonist MX781 inhibited the activation of NF- κ B-dependent transcriptional activity in different tumor cell lines. MX781 was able to completely inhibit tumor necrosis factor alpha-mediated activation of I κ B kinase (IKK), the upstream regulator of NF- κ B. Inhibition of IKK activity resulted from direct binding of MX781 to the kinase, as demonstrated by in vitro inhibition studies. Two other mols., MX3350-1 and CD2325, which are retinoic acid receptor gamma-selective agonists, were capable of inhibiting IKK in vitro, although they exerted variable inhibition of IKK and NF- κ B activities in intact cells in a cell type-specific manner. However, N-(4-hydroxyphenyl)-retinamide, another apoptosis-inducing retinoid, and retinoic acid as well as other nonapoptotic retinoids did not inhibit IKK. Inhibition of IKK by the retinoid-related compds. and other small mols. correlated with reduced cell proliferation and increased apoptosis. Reduced cell viability was also observed after overexpression of an IKK β kinase-dead mutant or the I κ B α superrepressor. The induction of apoptosis by the retinoid-related mols. that inhibited IKK was dependent on caspase activity but independent of the retinoid receptors. Thus, the presence of

an excess of retinoic acid or a retinoid antagonist did not prevent the inhibition of IKK activation by MX781 and CD2325, indicating a retinoid receptor-independent mechanism of action.

IT 146965-65-3, CD2325

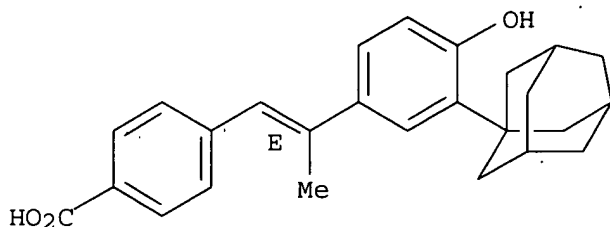
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(retinoid-related I κ B kinase inhibitors induce apoptosis of cancer cells)

RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:614959 CAPLUS

DN 133:275967

TI Dual mechanisms of action of the retinoid CD437: nuclear retinoic acid receptor-mediated suppression of squamous differentiation and receptor-independent induction of apoptosis in UMSCC22B human head and neck squamous cell carcinoma cells

AU Sun, Shi-Yong; Yue, Ping; Chandraratna, Roshantha A. S.; Tesfaigzi, Yohannes; Hong, Waun K.; Lotan, Reuben

CS Department of Thoracic/Head and Neck Medical Oncology, The University of Texas M. D. Anderson Cancer Center, Houston, TX, USA

SO Molecular Pharmacology (2000), 58(3), 508-514

CODEN: MOPMA3; ISSN: 0026-895X

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AB The synthetic retinoid 6-[3-(adamantyl)-4-hydroxyphenyl]-2-naphthalene carboxylic acid (CD437), which can bind to and activate the nuclear retinoic acid receptors β and γ (RAR β / γ), is a potent inducer of apoptosis in various cancer cell lines. However, this effect was reported to be independent of RARs. In this study, we compared and contrasted the potencies and mechanisms of action of CD437 and several other receptor-selective retinoids in induction of apoptosis and modulation of squamous differentiation in UMSCC22B human head and neck squamous cell carcinoma cell line. CD437 and the structurally related retinoid CD2325 exhibited almost equal potency in inducing apoptosis, whereas several other retinoids failed to induce apoptosis. The RAR-specific pan antagonist AGN193109 failed to suppress CD437-induced apoptosis, indicating that the induction of apoptosis by CD437 was RAR-independent. C-Fos expression was induced by CD437 and CD2325 that

induced apoptosis in the cell line but not by other retinoids that failed to induce apoptosis, suggesting a role for c-Fos in CD437-induced apoptosis. At low concentration (0.01 μ M), CD437 shared with several other receptor-selective retinoids the ability to suppress the mRNA levels of the squamous differentiation markers Spr1, involucrin, and cytokeratin 1. This effect of CD437 could be blocked by AGN193109. We conclude that CD437 can exert its effects in UMSCC22B human head and neck squamous cell carcinoma cells by at least two mechanisms: RAR-mediated suppression of squamous differentiation and RAR-independent induction of apoptosis.

IT 146965-65-3, CD 2325

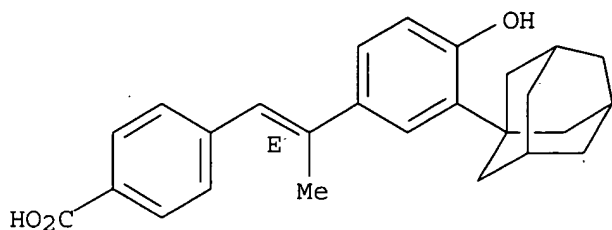
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(mechanisms of action of retinoid CD437: nuclear RAR-mediated suppression of squamous differentiation and receptor-independent induction of apoptosis in UMSCC22B human head and neck squamous cell carcinoma cells)

RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:141867 CAPLUS

DN 131:311

TI Differential responses of normal, premalignant, and malignant human bronchial epithelial cells to receptor-selective retinoids

AU Sun, Shi-Yong; Kurie, Jonathan M.; Yue, Ping; Dawson, Marcia I.; Shroot, Braham; Chandraratna, Roshantna A. S.; Hong, Waun K.; Lotan, Reuben

CS Department of Thoracic/Head and Neck Medical Oncology, The University of Texas M. D., Houston, TX, 77030, USA

SO Clinical Cancer Research (1999), 5(2), 431-437

CODEN: CCREF4; ISSN: 1078-0432

PB American Association for Cancer Research

DT Journal

LA English

AB Using an in vitro lung carcinogenesis model consisting of normal, premalignant, and malignant human bronchial epithelial (HBE) cells, we analyzed the growth inhibitory effects of 26 novel synthetic retinoic acid receptor (RAR)-and retinoid X receptor (RXR)-selective retinoids. RAR-selective retinoids such as CD271, CD437, CD2325, and SR11364 showed potent activity in inhibiting the growth of either normal or premalignant and malignant HBE cells (IC50s mostly <1 μ M) and were much more potent than RXR-selective retinoids. Nonetheless, the combination of RAR- and

RXR-selective retinoids exhibited additive effects in HBE cells. As the HBE cells became progressively more malignant, they exhibited decreased or lost sensitivity to many retinoids. The activity of the RAR-selective retinoids, with the exception of the most potent retinoid, CD437, could be suppressed by an RAR panantagonist. These results suggest that: (a) RAR/RXR heterodimers play an important role in mediating the growth inhibitory effects of most retinoids in HBE cells; (b) CD437 may act through an RAR-independent pathway; (c) some of the RAR-selective retinoids may have the potential to be used in the clinic as chemopreventive and chemotherapeutic agents for lung cancer; and (d) early stages of lung carcinogenesis may be responsive targets for chemoprevention by retinoids, as opposed to later stages.

IT 146965-65-3, CD 2325

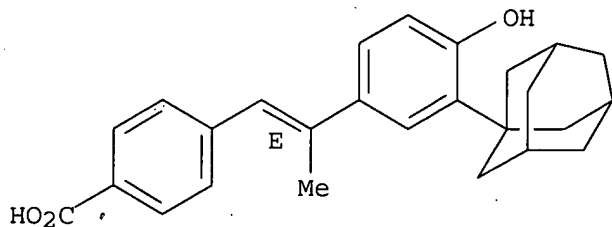
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CD 2325; differential responses of normal, premalignant, and malignant human bronchial epithelial cells to receptor-selective retinoids)

RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

RXR-selective retinoids exhibited additive effects in HBE cells. As the HBE cells became progressively more malignant, they exhibited decreased or lost sensitivity to many retinoids. The activity of the RAR-selective retinoids, with the exception of the most potent retinoid, CD437, could be suppressed by an RAR panantagonist. These results suggest that: (a) RAR/RXR heterodimers play an important role in mediating the growth inhibitory effects of most retinoids in HBE cells; (b) CD437 may act through an RAR-independent pathway; (c) some of the RAR-selective retinoids may have the potential to be used in the clinic as chemopreventive and chemotherapeutic agents for lung cancer; and (d) early stages of lung carcinogenesis may be responsive targets for chemoprevention by retinoids, as opposed to later stages.

IT 146965-65-3, CD 2325

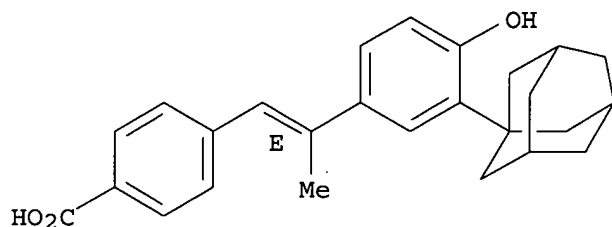
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CD 2325; differential responses of normal, premalignant, and malignant human bronchial epithelial cells to receptor-selective retinoids)

RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:965039 CAPLUS

DN 124:9022

TI Synthesis, Structure-Affinity Relationships, and Biological Activities of Ligands Binding to Retinoic Acid Receptor Subtypes

AU Charpentier, Bruno; Bernardon, Jean-Michel; Eustache, Jacques; Millois, Corinne; Martin, Bernard; Michel, Serge; Shroot, Braham

CS CIRD GALDERMA 635, Sophia Antipolis, 06902, Fr.

SO Journal of Medicinal Chemistry (1995), 38(26), 4993-5006

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB The retinoic acid receptors (RARs) transduce retinoid dependent gene regulation, and many biol. effects of retinoids are mediated through binding and activation of three closely related receptor subtypes (RAR α , RAR β , and RAR γ). In order to investigate the role of receptor subtypes, a chemical synthesis program was carried out to seek selective retinoids for these receptors. Receptor binding affinity was measured using recombinant RAR α , - β , and - γ proteins and

cellular differentiating activity in F9 murine teratocarcinoma cells (F9 cells) was assessed. This research has identified the 4-substituted-3-(1-adamantyl)phenyl moiety as a new pharmacophore which can replace the E-cyclogeranylidene ring of the naturally occurring all-trans-retinoic acid. Two chemical series derived from the general structures 6-(3-tert.-alkylphenyl)-2-naphthoic acid (series I) and 4-[(E)-2-(3-tert.-alkylphenyl)propenyl]benzoic acid (series II) were developed. In particular, the RARJ selective derivs. 6-[3-(1-adamantyl)-4-hydroxyphenyl]-2-naphthoic acid (I) [Ki(RARD) = 6500 nM, Ki(RARE) = 2480 nM, Ki(RARJ) = 77 nM] and 4-[(E)-2-[3-(1-adamantyl)-4-hydroxyphenyl]propenyl]benzoic acid (II) [Ki(RARD) = 1 144 nM, Ki(RARE) = 1245 nM, Ki(RARJ) = 53 nM]. In series I, the presence of a phenol group, irres. of the nature of tert.-alkyl group, imparted at least partial RARJ selectivity, whereas in series II, the presence of both adamantyl and phenol groups is needed to confer RARJ selectivity. The RARJ selective ligands induce differentiation in F9 cells (I, AC50 = 33 nM; II, AC50 = 66 nM). From series I, a mixed RARE-J agonist with potent cellular differentiating activity was selected for development as a topical antiacne agent, 6-[3-(1-adamantyl)-4-methoxyphenyl]-2-naphthoic acid (CD 271) [Ki(RARD) = 1100 nM, Ki(RARE) = 34 nM, Ki(RARJ) = 130 nM, AC50(F9) = 37 nM]. Finally, from series II, a weak antagonist in the F9 cellular differentiation assay, 4-[(E)-2-(3-tert-butyl-4-hydroxyphenyl)propenyl]benzoic acid (IC50 = 700 nM) was obtained.

IT 146965-65-3P 146965-79-9P 146998-38-1P

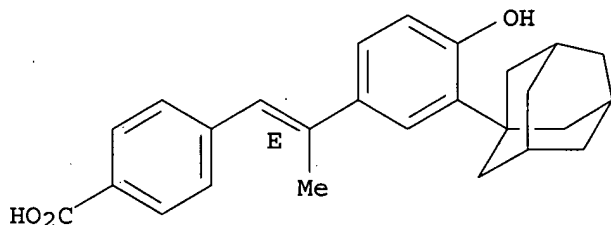
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and binding of alkylphenylnaphthoate and alkylphenylpropenylbenzoate retinoic acid receptor ligands)

RN 146965-65-3 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

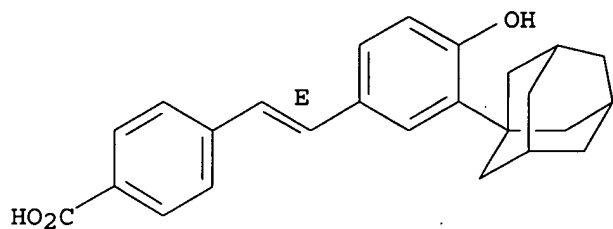


RN 146965-79-9 CAPLUS

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

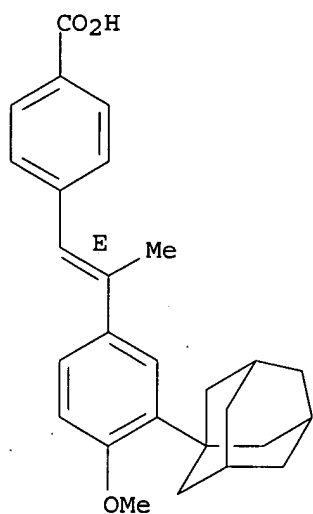
10676089



RN 146998-38-1 CAPLUS

CN Benzoic acid, 4-[2-(4-methoxy-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 171365-86-9P 171365-87-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and binding of alkylphenylnaphthoate and alkylphenylpropenylbenzoate retinoic acid receptor ligands)

RN 171365-86-9 CAPLUS

CN Benzoic acid, 4-[2-(4-methoxy-3-tricyclo[3.3.1.1.3,7]dec-1-ylphenyl)-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Chemical structure of compound 10: A trans-stilbene derivative. The left phenyl ring is substituted with an ethyl ester group (EtO-C(=O)-). The right phenyl ring is substituted with a methoxy group (OMe) and a norbornene moiety. The central double bond is in the E configuration, with a methyl group (Me) attached to the carbon adjacent to the norbornene moiety.

CN Benzoic acid, 4-[2-(4-hydroxy-3-tricyclo[3.3.1.3⁰.1³]-7-phenyl)ethenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

RL: SPN (Synthetic preparation); PREP (Preparation
(preparation and binding of alkylphenylnaphthoate and
alkylphenylpropenylbenzoate retinoic acid receptor ligands)

CN Benzoic acid, 4-[2-(4-methoxy-3-tricyclo[3.3.1.3⁰.1³],7]dec-1-ylphenyl)-1-propenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)